

L14 ANSWER 69 OF 90 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:507532 CAPLUS <<LOGINID::20080828>>

DOCUMENT NUMBER: 135:107148

TITLE: Preparation of N-cyanomethyl amides as cysteine protease inhibitors

INVENTOR(S): Oballa, Renata Marcella; Prasit, Petpiboon; Robichaud, Joel Stephane; Isabel, Elise; Mendonca, Rohan V.; Venkatraman, Shankar; Setti, Eduardo; Wang, Dan-Xiong

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.; Axys Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2001049288	A1	20010712	WO 2001-US341	20010105
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2396257	A1	20010712	CA 2001-2396257	20010105
US 20020052378	A1	20020502	US 2001-754962	20010105
US 6525036	B2	20030225		
EP 1248612	A1	20021016	EP 2001-900903	20010105
EP 1248612	B1	20080227		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003525874	T	20030902	JP 2001-549656	20010105
AU 779855	B2	20050217	AU 2001-26314	20010105
AT 387199	T	20080315	AT 2001-900903	20010105
PRIORITY APPLN. INFO.:			US 2000-174978P	P 20000106
			US 2000-256793P	P 20001219
			WO 2001-US341	W 20010105

OTHER SOURCE(S): MARPAT 135:107148

AB The title compds. R3X1CONHCR1R2CN [I; X1 = CR4R5, CR6R7, NR7 (wherein CR4R5 = (un)substituted cyclohexyl; R6 = H, alkyl; R7 = alkyl, (CH2)1-3 cyclopropyl); R1 = H, alkyl; R2 = H, (un)substituted alkyl; R3 = aryl, cycloalkyl, heterocycloalkyl, etc.] which showed cathepsin B, K, L, and S inhibitory activity (no data), were prepared Thus, reacting 2-(biphenyl-3-yl)-4-methylpentanoic acid (preparation given) with aminoacetonitrile in the presence of PyBOP and Et3N in DMF afforded I [X1 = CH(CH2CHMe2); R1, R2 = H; R3 = 3-biphenyl].

IT 349669-76-7P 349669-89-2P 349670-82-2P
349670-83-3P 349670-84-4P 349670-85-5P

349670-86-6P 349671-00-7P 349671-01-8P

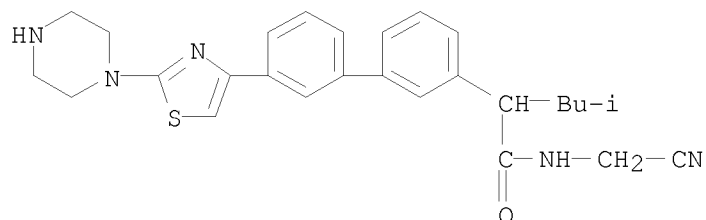
349671-08-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-cyanomethyl amides as protease cysteine inhibitors)

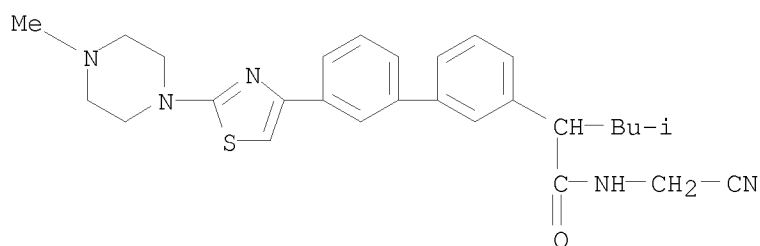
RN 349669-76-7 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, N-(cyanomethyl)- α -(2-methylpropyl)-3'-[2-(1-piperazinyl)-4-thiazolyl]- (CA INDEX NAME)



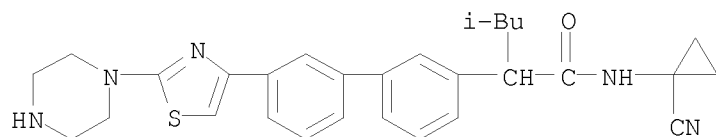
RN 349669-89-2 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, N-(cyanomethyl)-3'-[2-(4-methyl-1-piperazinyl)-4-thiazolyl]- α -(2-methylpropyl)- (CA INDEX NAME)



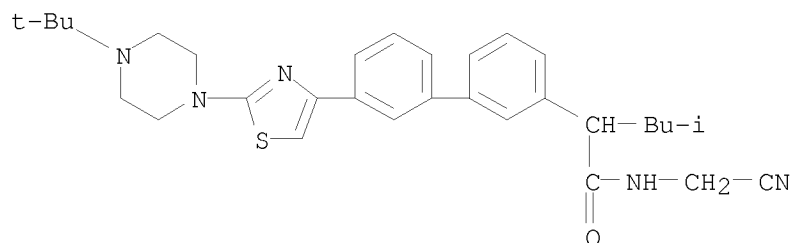
RN 349670-82-2 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, N-(1-cyanocyclopropyl)- α -(2-methylpropyl)-3'-[2-(1-piperazinyl)-4-thiazolyl]- (CA INDEX NAME)



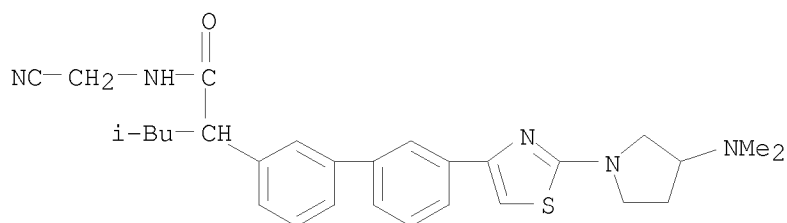
RN 349670-83-3 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, N-(cyanomethyl)-3'-[2-[4-(1,1-dimethylethyl)-1-piperazinyl]-4-thiazolyl]- α -(2-methylpropyl)- (CA INDEX NAME)



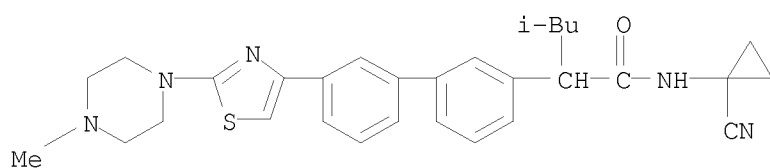
RN 349670-84-4 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, N-(cyanomethyl)-3'-[2-[3-(dimethylamino)-1-pyrrolidinyl]-4-thiazolyl]-α-(2-methylpropyl)- (CA INDEX NAME)



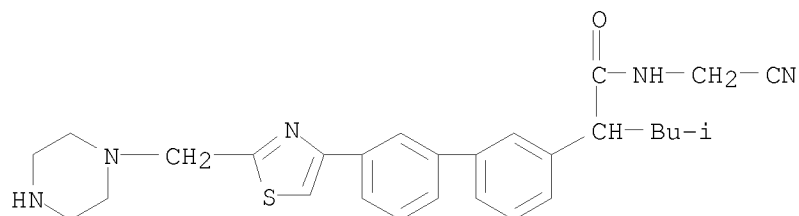
RN 349670-85-5 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, N-(1-cyanocyclopropyl)-3'-[2-(4-methyl-1-piperazinyl)-4-thiazolyl]-α-(2-methylpropyl)- (CA INDEX NAME)

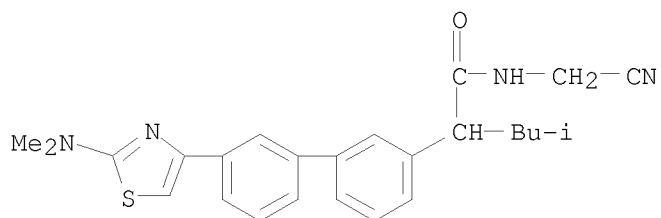


RN 349670-86-6 CAPLUS

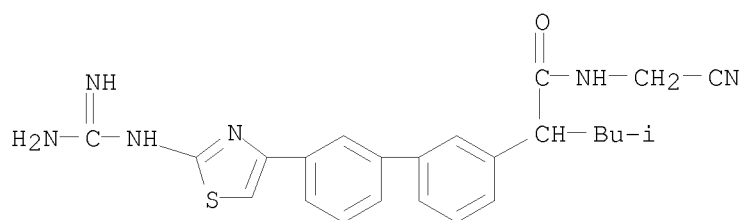
CN [1,1'-Biphenyl]-3-acetamide, N-(cyanomethyl)-α-(2-methylpropyl)-3'-[2-(1-piperazinylmethyl)-4-thiazolyl]- (CA INDEX NAME)



RN 349671-00-7 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, N-(cyanomethyl)-3'-[2-(dimethylamino)-4-thiazolyl]- α -(2-methylpropyl)- (CA INDEX NAME)

RN 349671-01-8 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, 3'-[2-[(aminoiminomethyl)amino]-4-thiazolyl]-N-(cyanomethyl)- α -(2-methylpropyl)- (CA INDEX NAME)

RN 349671-08-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[3'-[1-[[[(cyanomethyl)amino]carbonyl]-3-methylbutyl][1,1'-biphenyl]-3-yl]-2-thiazolyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

